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LOGINID:SSPTANSC1625

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUL 28	CA/CAplus patent coverage enhanced
NEWS 3	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5	JUL 28	STN Viewer performance improved
NEWS 6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 9	AUG 15	CAplus currency for Korean patents enhanced
NEWS 10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 12	SEP 25	CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14	SEP 29	IFICLS enhanced with new super search field
NEWS 15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS 16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS 18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS 19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS 20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:40:28 ON 10 NOV 2008

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:40:55 ON 10 NOV 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 NOV 2008 HIGHEST RN 1071762-23-6
DICTIONARY FILE UPDATES: 9 NOV 2008 HIGHEST RN 1071762-23-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

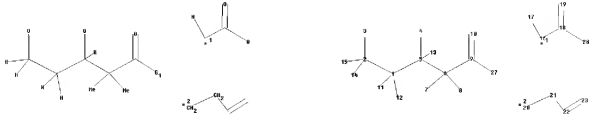
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10559389.str



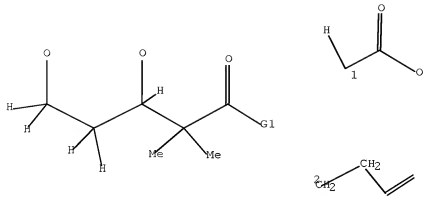
chain nodes :
6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 27 28
ring/chain nodes :
1 2 3 4 5
chain bonds :
1-11 1-12 2-14 2-15 5-6 5-13 6-7 6-8 6-9 9-10 9-27 16-17 16-18 18-19
18-28 20-21 21-22 22-23
ring/chain bonds :
1-2 1-5 2-3 4-5
exact/norm bonds :
1-2 1-5 2-3 4-5 9-10 9-27 18-19 18-28
exact bonds :
1-11 1-12 2-14 2-15 5-6 5-13 6-7 6-8 6-9 16-17 16-18 20-21 21-22 22-23

G1:[*1],[*2]

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

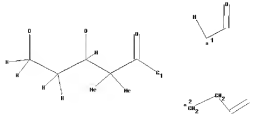
```
=> s sss sam l1
SAMPLE SEARCH INITIATED 10:41:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1376 TO ITERATE

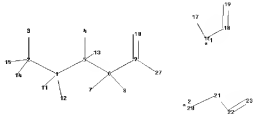
100.0% PROCESSED     1376 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        25295 TO    29745
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=>
Uploading C:\Program Files\STNEXP\Queries\10559389A.str
```





chain nodes :

```
6  7  8  9  10  11  12  13  14  15  16  17  18  19  20  21  22  23  27
ring/chain nodes :
1  2  3  4  5
chain bonds :
1-11  1-12  2-14  2-15  5-6  5-13  6-7  6-8  6-9  9-10  9-27  16-17  16-18  18-19
20-21  21-22  22-23
ring/chain bonds :
1-2  1-5  2-3  4-5
exact/norm bonds :
1-2  1-5  2-3  4-5  9-10  9-27  18-19
exact bonds :
1-11  1-12  2-14  2-15  5-6  5-13  6-7  6-8  6-9  16-17  16-18  20-21  21-22  22-23


G1:[*1],[*2]

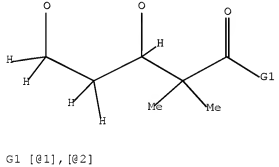
Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS
18:CLASS  19:CLASS  20:CLASS  21:CLASS  22:CLASS  23:CLASS  27:CLASS

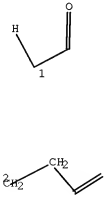


L3      STRUCTURE UPLOADED

=> d le
L3 HAS NO ANSWERS
'LE ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
ENTER STRUCTURE FORMAT (SIM), NOS:end

=> d l3
L3 HAS NO ANSWERS
L3              STR
```






```
 G1 [@1],[@2]


Structure attributes must be viewed using STN Express query preparation.


=> s sss sam l3
SAMPLE SEARCH INITIATED 10:44:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      2005 TO ITERATE
```

```
 99.8% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:         37414 TO     42786
PROJECTED ANSWERS:                0 TO         0

L4             0 SEA SSS SAM L3

=>
Uploading C:\Program Files\STNEXP\Queries\10559389B.str
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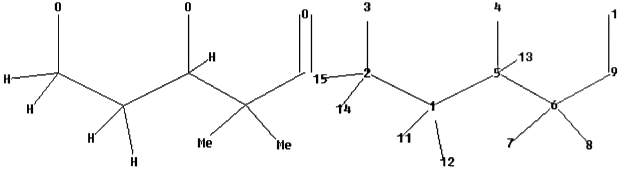



```
chain nodes :
6  7  8  9  10  11  12  13  14  15
ring/chain nodes :
1  2  3  4  5
chain bonds :
1-11  1-12  2-14  2-15  5-6  5-13  6-7  6-8  6-9  9-10
ring/chain bonds :
1-2  1-5  2-3  4-5
exact/norm bonds :
1-2  1-5  2-3  4-5  9-10
exact bonds :
1-11  1-12  2-14  2-15  5-6  5-13  6-7  6-8  6-9

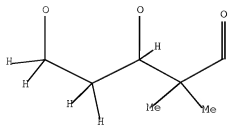

G1

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS



L5      STRUCTURE UPLOADED

=> D L5
L5 HAS NO ANSWERS
L5              STR
```



G1

Structure attributes must be viewed using STN Express query preparation.

=> S SSS SAM L5
SAMPLE SEARCH INITIATED 10:45:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 12924 TO ITERATE

15.5% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 251668 TO 265292
PROJECTED ANSWERS: 43 TO 473

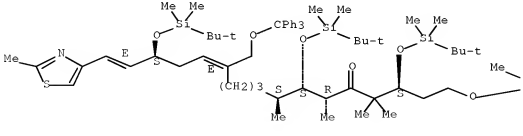
L6 2 SEA SSS SAM L5

=> D SCAN

L6 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 12,16-Heptadecadien-5-one, 1,3,7,15-tetrakis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,4,6,8-tetramethyl-17-(2-methyl-4-thiazolyl)-12-[(triphenylmethoxy)methyl]-, (3S,6R,7S,8S,12E,15S,16E)-
MF C69 H113 N O6 S Si4

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A

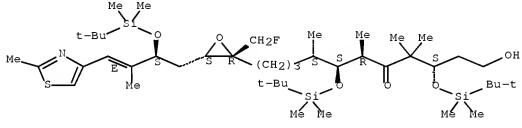


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L6 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 5-Undecanone, 3,7-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-11-[(2R,3S)-3-[(2S,3E)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-methyl-4-(2-methyl-4-thiazolyl)-3-buten-1-yl]-2-(fluoromethyl)-2-oxiranyl]-1-hydroxy-4,4,6,8-tetramethyl-, (3S,6R,7S,8S)-
MF C45 H86 F N O6 S Si3

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s sss FULL l1
FULL SEARCH INITIATED 10:47:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27721 TO ITERATE

100.0% PROCESSED 27721 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L7 20 SEA SSS FUL L1

=> SAVE TEMP WEST10559389/A
ENTER L#, L# RANGE, ALL, OR (END):END

```
=> SAVE TEMP WEST10559389/A L7
ANSWER SET L7 HAS BEEN SAVED AS 'WEST10559389/A'

=> FIL CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   183.88     184.09

FILE 'CAPLUS' ENTERED AT 10:48:41 ON 10 NOV 2008
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FILE COVERS 1907 - 10 Nov 2008  VOL 149 ISS 20
FILE LAST UPDATED: 9 Nov 2008  (20081109/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> S L7
L8            8 L7

=> S L8 AND (AY<2003 OR PY<2003 OR PRY<2003)
      4499665 AY<2003
     22959179 PY<2003
      3967969 PRY<2003
L9            4 L8 AND (AY<2003 OR PY<2003 OR PRY<2003)

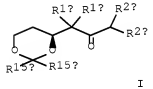
=> D IBIB ABS HITSTR 1-4 L9

L9   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2003:511314  CAPLUS  Full-text
DOCUMENT NUMBER:          139:85166
TITLE:                    Method for producing C1-C6 fragments of epothilones
                          and the derivatives thereof
INVENTOR(S):              Klar, Ulrich; Berger, Markus; Buchmann, Bernd;
                          Schwede, Wolfgang; Skuballa, Werner
PATENT ASSIGNEE(S):       Schering Aktiengesellschaft, Germany
SOURCE:                   PCT Int. Appl., 30 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:
```

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053949	A1	20030703	WO 2002-EP14758	20021223 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10164592	A1	20030703	DE 2001-10164592	20011221 <--
AU 2002356783	A1	20030709	AU 2002-356783	20021223 <--
US 20030176710	A1	20030918	US 2002-326263	20021223 <--
PRIORITY APPLN. INFO.:			DE 2001-10164592 A	20011221 <--
			WO 2002-EP14758 W	20021223 <--

OTHER SOURCE(S): CASREACT 139:85166; MARPAT 139:85166

GI



I

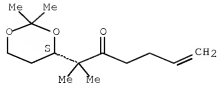
AB The invention relates to C1-C6 fragments I [R1a, R1b = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)m; m = 2 - 5; R2a, R2b = H, C1-10-alkyl, C1-10-alkenyl, C1-10-alkynyl, C7-20-aralkyl, (CH2)n; n = 2 - 5; R15a, R15b = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)q; q = 3 - 6] of epothilones and to an efficient method for producing such fragments and the derivs. thereof. Thus, (4S)-4-(2-methyl-3-oxohept-6-en-2-yl)-2,2-dimethyl-1,3- dioxane [I; R1a = R1b = Me, R2a = CH2CH:CH2, R2b = H, R15a = R15b =Me] was prepared from (3S)-1-hydroxy-2,2-dimethyl-3-(tetrahydropyranyloxy)-4- pentene, (S)-HOCH2CMe2CH(OTHP)CH:CH2, via O-benzylation with PhCH2Br, hydroboration with BH3-THF complex, dehydrotetrahydropyranylation-isopropylidenation with Me2C(OMe)2 in MeCOMe containing catalytic tosyl acid, hydrogenolytic debenzylation, Swern oxidation, Grignard reaction with MeMgBr, oxidn, with TPAT in CH2Cl2 contg, N0methylmorpholine N-oxide and alkylation with allyl bromide.

IT 305840-13-5P 552313-46-9P 552313-55-0P
552313-56-1P 552313-65-2P 552313-66-3P
552313-76-5P 552313-77-6P 552313-87-8P
552313-88-9P 552313-98-1P 552313-99-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of C1-C6 fragments of epothilones and their derivs.)

RN 305840-13-5 CAPLUS

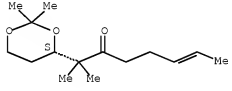
CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



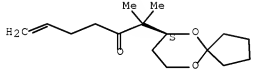
RN 552313-46-9 CAPLUS
CN 6-Octen-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



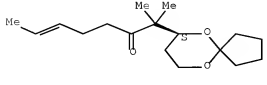
RN 552313-55-0 CAPLUS
CN 6-Hepten-3-one, 2-(7S)-6,10-dioxaspiro[4.5]dec-7-yl-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



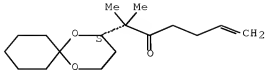
RN 552313-56-1 CAPLUS
CN 6-Octen-3-one, 2-(7S)-6,10-dioxaspiro[4.5]dec-7-yl-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



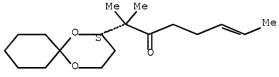
RN 552313-65-2 CAPLUS
CN 6-Hepten-3-one, 2-(2S)-1,5-dioxaspiro[5.5]undec-2-yl-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



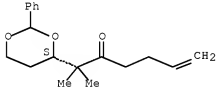
RN 552313-66-3 CAPLUS
CN 6-Octen-3-one, 2-(2S)-1,5-dioxaspiro[5.5]undec-2-yl-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



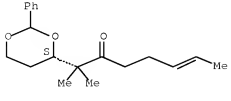
RN 552313-76-5 CAPLUS
CN 6-Hepten-3-one, 2-methyl-2-[(4S)-2-phenyl-1,3-dioxan-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 552313-77-6 CAPLUS
CN 6-Octen-3-one, 2-methyl-2-[(4S)-2-phenyl-1,3-dioxan-4-yl]- (CA INDEX NAME)

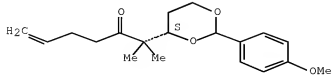
Absolute stereochemistry.
Double bond geometry unknown.



RN 552313-87-8 CAPLUS

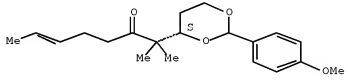
CN 6-Hepten-3-one, 2-[(4S)-2-(4-methoxyphenyl)-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



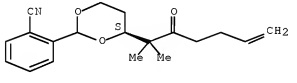
RN 552313-88-9 CAPLUS
CN 6-Octen-3-one, 2-[(4S)-2-(4-methoxyphenyl)-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



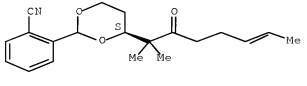
RN 552313-98-1 CAPLUS
CN Benzonitrile, 2-[(4S)-4-(1,1-dimethyl-2-oxo-5-hexen-1-yl)-1,3-dioxan-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 552313-99-2 CAPLUS
CN Benzonitrile, 2-[(4S)-4-(1,1-dimethyl-2-oxo-5-hepten-1-yl)-1,3-dioxan-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



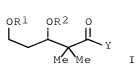
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:133222 CAPLUS Full-text
DOCUMENT NUMBER: 138:187562
TITLE: Preparation of protected 3,5-dihydroxy-2,2-dimethyl-valeroamides as intermediates for the synthesis of epothilones and derivatives
INVENTOR(S): Westermann, Juergen; Petrov, Orlin; Platzek, Johannes
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014063	A2	20030220	WO 2002-EP8726	20020805 <--
WO 2003014063	A3	20030501		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10138348	A1	20030227	DE 2001-10138348	20010803 <--
CA 2456255	A1	20030220	CA 2002-2456255	20020805 <--
AU 2002340805	A1	20030224	AU 2002-340805	20020805 <--
US 20030158412	A1	20030821	US 2002-211242	20020805 <--
US 6933385	B2	20050823		
EP 1412322	A2	20040428	EP 2002-774500	20020805 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011649	A	20040713	BR 2002-11649	20020805 <--
CN 1538952	A	20041020	CN 2002-815237	20020805 <--
JP 2004537589	T	20041216	JP 2003-519015	20020805 <--
CN 1807403	A	20060726	CN 2005-10076459	20020805 <--
MX 2004PA00954	A	20040420	MX 2004-PA954	20040130 <--
IN 2004DN00467	A	20060310	IN 2004-DN467	20040226 <--
NO 2004000912	A	20040302	NO 2004-912	20040302 <--
ZA 2004001727	A	20050412	ZA 2004-1727	20040302 <--
US 20050272731	A1	20051208	US 2005-149331	20050610 <--
US 7368568	B2	20080506		
US 20080161580	A1	20080703	US 2008-43401	20080306 <--

PRIORITY APPLN. INFO.:			
DE 2001-10138348	A	20010803	<--
US 2001-313015P	P	20010820	<--
CN 2002-815237	A3	20020805	<--
US 2002-211242	A3	20020805	<--
WO 2002-EP8726	W	20020805	<--
US 2005-149331	A3	20050610	

OTHER SOURCE(S): MARPAT 138:187562
GI



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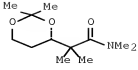


IV

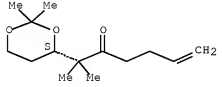
AB The present invention discloses preparation of novel protected 3,5-dihydroxy-2,2-dimethyl-valeroamide derivs., such as I [R1, R2 = benzyl, 4-methoxybenzyl, 3,4-dimethoxybenzyl, THP, TBDMS, TMS, TES, TIP, TBDPS, MEM, MOM, allyl, trityl; R1R2 = ketal; Y = NA1A2; A1, A2 = alkyl, aryl, benzyl, OH, OMe, O-benzyl, heterocyclyl], and intermediates thereof for the synthesis of epothilones and epothilone derivs. Thus, 1-dimethylamino-2-methyl-1-trimethylsilyl-propene (obtained by the reaction of N,N,2-trimethyl-propionamide and trimethylsilyl chloride), was reacted with 3-(benzyloxy)-1-propanal to provide N,N-dimethyl-5-benzyloxy-2,2-dimethyl-3-hydroxypentanamide, which on oxidation afforded N,N-dimethyl-5-benzyloxy-2,2-dimethyl-3-oxo-pentanamide (II). II, on catalytic reduction in presence of RuCl2 and S-BiNAP, afforded I (R1 = CH2Ph; R2 = H; Y = NMe2), which was deprotected to afford I [R1, R2 = H; Y = NMe2 (III)]. III was reacted with acetone-dimethylketal to afford 3,5-dihydroxy-2,2-dimethyl-valeroamide derivative (IV).

IT 305840-13-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of protected 3,5-dihydroxy-2,2-dimethyl-valeroamide derivs. and
intermediates thereof in preparation of epothilones and epothilone derivs.)

RN 305840-13-5 CAPLUS

CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

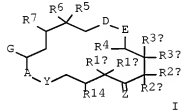
ACCESSION NUMBER:	2002:157050 CAPLUS Full-text
DOCUMENT NUMBER:	136:216592
TITLE:	Procedures for the production of 12,13-cyclopropylepothilone derivatives, as well as for their use in pharmaceutical preparations
PATENT ASSIGNEE(S):	Schering Ag, Germany
SOURCE:	Ger. Offen., 64 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10041470	A1	20020228	DE 2000-10041470	20000818 <--
PRIORITY APPLN. INFO.:			DE 2000-10041470	20000818 <--

OTHER SOURCE(S): CASREACT 136:216592; MARPAT 136:216592

GI



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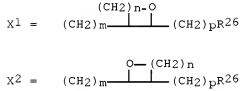


AB The present invention describes new 6-alkenyl- and 6-alkynylepothilone derivs., e.g., I [R1a, R1b = H, C1-10-alkyl, aryl, C7-20-aralkyl; R1aR1b = (CH2)r, CH2OCH2; r = 1 - 5; R2a = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)m-C.tplbond.C-(CH2)pR26, (CH2)m-C:C-(CH2)pR26, X1, X2; n = 0 - 5; p = 0 - 3; m = 0 - 4; R2b = (CH2)m-C.tplbond.C-(CH2)pR26, (CH2)m-C:C-(CH2)pR26, X1, X2; R3a = H, C1-10-alkyl, aryl, C7-20-aralkyl; R3b = O-protecting group; R4 = H, C1-10-alkyl, aryl, C7-20-aralkyl, halogen, OH, O-protecting group, CN; R5 = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)s-T; S = 1 - 4; T = OH, O-protecting group, halogen; R6R7 = C(R33)2, NR32 AY = OC(:O), OCH2, CH2C(:O), NR29C(:O), NR29SO2; DE = CH2CH2, CH2O, OCH2; G = X:CR8-, bicyclic or tricyclic aryl; X = O, (O-alkyl)2, etc.; Z = H, H,OH, H,O-protective group; R8 = H, halogen, CN, C1-20-alkyl, aryl, C7-20-aralkyl; R14 = H, OH, halogen, O-SO2-alkyl, O-SO2-aryl, O-SO2-aralkyl; R26 = H, C1-10-alkyl, aryl, C7-20-aralkyl, C1-10-acyl, OH, O-protecting group; R29 = H, C1-20-alkyl; R32 = H, C1-4-alkyl, C1-4-acyl; R33 = H, halogen], which interact with tubulins by stabilizing the formed microtubulins (no data). I are able specifically to affect cell division and are suitable, for example for the treatment of malignant tumors ovarial -, stomach -, colon -, adeno -, chest -, lungs -, head and neck carcinoma, malignant melanoma, acute lymphocytic and myelocytic leukemia. In addition I are suitable for the anti-angiogenesis therapy as well as for the treatment of chronic ignitable illnesses (psoriasis, arthritis). For the avoidance of uncontrolled cell rampant growths on as well as the better compatibility of medical implants I can be up and/or brought into polymers materials. According to invention, I can be used alone or for the achievement of additive or synergistic effects in combination with further principles and substance classes applicable in the tumor therapy. Exptl. data from patents PCT/EP00/01333 and PCT/IB00/00657 are reproduced here.

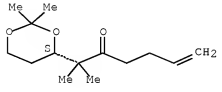
IT 3058-40-13-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 12,13-cyclopropylepothilone derivs. and their use in pharmaceutical compns.)

RN 305840-13-5 CAPLUS

CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:790507 CAPLUS Full-text

DOCUMENT NUMBER: 133:362656

TITLE: Preparation of 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilone derivatives and their antitumor activity

INVENTOR(S): Klar, Ulrich; Schwede, Wolfgang; Skuballa, Werner; Buchmann, Bernd; Hoffmann, Jens; Lichtner, Rosemarie

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 298 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000066589	A1	20001109	WO 2000-IB657	20000501	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
DE 19921086	A1	20001102	DE 1999-19921086	19990430	<--
DE 19954228	A1	20010913	DE 1999-19954228	19991104	<--
DE 10015836	A1	20011011	DE 2000-10015836	20000327	<--
CA 2371226	A1	20001109	CA 2000-2371226	20000501	<--
BR 2000010190	A	20020108	BR 2000-10190	20000501	<--
EP 1173441	A1	20020123	EP 2000-922826	20000501	<--
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JP 2002543203	T	20021217	JP 2000-615619	20000501	<--
JP 4024003	B2	20071219			
EE 200100568	A	20030217	EE 2001-568	20000501	<--
NZ 514989	A	20040227	NZ 2000-514989	20000501	<--

AU 772750	B2	20040506	AU 2000-43103		20000501 <--
IN 2001MN01305	A	20070504	IN 2001-MN1305		20011019 <--
BG 106053	A	20020531	BG 2001-106053		20011026 <--
NO 2001005278	A	20011221	NO 2001-5278		20011029 <--
MX 2001PA11039	A	20030630	MX 2001-PA11039		20011030 <--
US 7125893	B1	20061024	US 2002-979939		20020606 <--
IN 2005MN00837	A	20070608	IN 2005-MN837		20050802 <--
US 20060046997	A1	20060302	US 2005-214988		20050831 <--
PRIORITY APPLN. INFO.:			DE 1999-19921086	A1	19990430 <--
			DE 1999-19954228	A1	19991104 <--
			DE 2000-10015836	A1	20000327 <--
			DE 2000-10013363	A	20000309 <--
			WO 2000-IB657	W	20000501 <--
			IN 2001-MN1305	A3	20011019 <--
			US 2002-979939	A3	20020606 <--

OTHER SOURCE(S): MARPAT 133:362656
GI

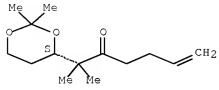
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The antitumor agents, 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilones I (R1a, R1b are same or different = H, C1-C10 alkyl, C6-C12 aryl, C7-C20 aralkyl each optionally substituted; or together = (CH2)m m = 1-5 or -CH2OCH2-; R2a(R2b replace a with b) = H, substituted alkyl, aryl, aralkyl, (CH2)ra-C.tplbond.(or =)C-(CH2)pa-R26a, Q, Q1 where n = 0-5; ra, rb = the same or different and = 0-4; pa, pb = the same or different and = 0-3; R3a = H, substituted alkyl, aryl or aralkyl; R3b = OH, OPG14; R14 = H, OR14a, halogen and R14a = H, SO2-alkyl, SO2-aryl or SO2-aralkyl; R4 = H, substituted alkyl, aryl or aralkyl, halogen, OR25, CN; R26a, R26b = same or different = H, substituted alkyl, aryl or aralkyl, C1-C10 acyl or if pa or pb > 0, addnl. a group OR27; R25 = R27 = R22 = H, PG; R5 = H, substituted alkyl, aryl or aralkyl, (CH2)sT s = 1-4, T = OR22 or halogen; R6, R7 = H or together = bond or O; G = X=CR8 or bi- or tricyclic aryl radical and R8 = H, halogen, CN, or substituted alkyl, aryl or aralkyl; X = O, two OR23 groups, C2-C10-alkylene-α,ω-dioxy straight chain or branched; H/OR9 or CR10R11 group and R23 = alkyl radical, R9 = H, PG, R10,R11 = same or different = H, substituted alkyl, aryl or aralkyl, or together with the methylene are a 5-7 carbocyclic ring; D-E = CH2CH2 or OCH2; A = OC(O), OCH2, CH2C(O), NR29C(O), NR29SO2 and R29 = H, alkyl; Z = O or H/OR12 and R12 = H, PG) were prepared Thus II was prepared in a multistep synthesis starting from (4S)-4-(2-methyl-1-oxoprop-2-yl)-2,2- dimethyl[1,3]dioxane and 5-trimethylsilylpent-4-in-1-yl magnesium bromide. II had an IC50 value [nM] of 3.0 for the growth inhibition of human MCF-7 breast- and 75 for multidrug resistant NCI/ADR carcinoma cell lines with a selectivity of 2.5. The new epothilone derivs. interact with tubulin by stabilizing microtubuli that are formed. They are able to influence the cell-splitting in a phase-specific manner and are therefore useful in treating diseases or conditions associated with the need for cell growth, division and/or proliferation. Thus the epothilone derivs. are suitable for treating malignant tumors, e.g., ovarian, stomach, colon, adeno-, breast, lung, head and neck carcinomas, malignant melanoma, acute lymphocytic and myelocytic leukemia; and for anti-angiogenesis therapy as well as for treatment of chronic inflammatory diseases (such as psoriasis, arthritis).

IT 305849-13-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilone derivs. and their use in pharmaceutical prepns.)

RN 305840-13-5 CAPLUS
CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> LOGOFF Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	34.40	218.49
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.20	-3.20

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